USSN Not yet assigned
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# AppendixAR20 Rec'd PCT/PTO 11 AUG 2006

#### Claim Amendments

1. (Currently amended) A compound of the formula 1

where

R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl or fluoro-1-4C-alkyl,

R2 is halogen, fluoro-1-4C-alkyl, 2-4C-alkenyl, 2-4C-alkynyl, carboxyl, cyano, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, amino-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, the radical Res-1-4C-alkyl or the radical -CO-NR31R32,

where

Res is a imidazo, morpholino, aziridino, azetidino, pyrrolidino, pyrrolo, piperidino, piperazino or a with R30 substituted benzylamino radical and the

radical Res is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical.

- R30 is 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen or hydroxy,
- R31 is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and
- R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino, morpholino, aziridino or azetidino group,

Ar is one with R4, R5, R6 and R7 substituted mono- or bicyclic aromatic residue selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl,

isoxazolyl, pyridinyl, pyrimidinyl, chinolinyl and isochinolinyl,

#### wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, aryl, aryl-1-4C-alkyl, aryl-oxy, aryl-1-4C-alkoxy, fluoro-1-4C-alkyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R5 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, aryl, aryl-1-4C-alkyl, aryl-oxy, aryl-1-4C-alkoxy, fluoro-1-4C-alkyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R6 is hydrogen, 1-4C-alkyl or halogen and R7 is hydrogen, 1-4C-alkyl or halogen,

wherein

aryl is phenyl or substituted phenyl with one, two or three same or different substituents selected from the group consisting of 1-4C-alkyl, 1-4C-alkoxy, carboxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl, nitro, trifluoromethoxy, hydroxy and cyano, [[.]]

with the proviso that, in the case when Ar is not a 2-ethyl-6-methyl-phenyl radical, R1 does not have the meaning hydrogen or 1-4C-alkyl when R2 has the meaning halogen or fluoro-1-4C-alkyl,

## or a salt thereof

and its salts.

2. (Currently amended) A compound of the formula 1 as claimed in claim 1,

- R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl or fluoro-1-4C-alkyl,
- R2 is halogen, fluoro-1-4C-alkyl, 2-4C-alkenyl, 2-4C-alkynyl, carboxyl, cyano, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl,

amino-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, the radical Res-1-4C-alkyl or the radical -CO-NR31R32,

where

- Res is a imidazo, morpholino, aziridino, azetidino, pyrrolidino, pyrrolo, piperidino, piperazino or a with R30 substituted benzylamino radical and the radical Res is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical, where
  - R30 is 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen or hydroxy,
- R31 is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and
- R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino,

piperazino, N-1-4C-alkylpiperazino, morpholino, aziridino or azetidino group,

is <u>a one with R4</u>, R5, R6 and R7 substituted mono- or bicyclic aromatic residue <u>selected</u> from the group <u>consisting</u> of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, chinolinyl and isochinolinyl,

wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkyl, halogen or fluoro-1-4C alkyl,

R5 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkyl, halogen or fluoro-1-4C alkyl,

R6 is hydrogen,

R7 is hydrogen,

with the proviso that, in the case when Ar is not a 2-ethyl-6-methyl-phenyl radical, R1 does not have the meaning hydrogen or 1-4C-alkyl when R2 has the meaning halogen or fluoro-1-4C-alkyl,

or a salt thereof

3. (Currently amended) A compound of the formula 1 as claimed in claim 1,

where

- R1 is 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl or fluoro-1-4C-alkyl,
- is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, amino-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, the radical Res-1-4C-alkyl or the radical -CO-NR31R32,

- Res is a imidazo, morpholino, aziridino, azetidino, pyrrolidino, pyrrolo, piperidino or piperazino radical and the radical Res is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical,
- R31 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,
- R32 is hydrogen, 1-7C-alkyl or 1-4C-alkoxy-1-4C-alkyl,
- Ar is <u>a one with R4</u>, R5, R6 and R7 substituted monocyclic aromatic residue selected from the group <u>consisting</u> of phenyl, pyridinyl, thiophenyl, furanyl and pyrrolyl,

wherein

R4 is hydrogen, 1-4C-alkyl, halogen or fluoro-1-4C-alkyl,

R5 is hydrogen, 1-4C-alkyl, halogen or fluoro-1-4C-alkyl,

R6 is hydrogen,

R7 is hydrogen,

#### or a salt thereof

and its salts.

4. (Currently amended) A compound of the formula 1 as claimed in claim 1,

where

- R1 is 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl or fluoro-1-4C-alkyl,
- is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, amino-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, the radical Res-1-4C-alkyl or the radical CO-NR31R32,

where

Res is a imidazo, morpholino, aziridino, azetidino, pyrrolidino, pyrrolo, piperidino or piperazino

radical and the radical Res is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical,

- R31 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,
- R32 is hydrogen, 1-7C-alkyl or 1-4C-alkoxy-1-4C-alkyl,
- Ar is one with R4, R5, R6 and R7 substituted phenyl, wherein

R4 is hydrogen or 1-4C-alkyl,

R5 is hydrogen or 1-4C-alkyl,

R6 is hydrogen,

R7 is hydrogen,

#### or a salt thereof

and its salts.

5. (Currently amended) A compound of the formula 1 as claimed in claim 1,

- R1 is 1-4C-alkyl,
- R2 is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-

4C-alkyl, the radical Res-1-4C-alkyl or the radical - CO-NR31R32,

where

Res is a imidazo or morpholino radical and is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical,

R31 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R32 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

Ar is one with R4, R5, R6 and R7 substituted phenyl, wherein

R4 is hydrogen or 1-4C-alkyl,

R5 is hydrogen or 1-4C-alkyl,

R6 is hydrogen,

R7 is hydrogen,

#### or a salt thereof

and its salts.

6. (Currently amended) A compound of the formula 1 as claimed in claim 1,

where

R1 is 1-4C-alkyl,

R2 is carboxyl, 1-4C-alkoxycarbonyl or the radical -CO-NR31R32,

where

R31 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R32 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

Ar is one with R4, R5, R6 and R7 substituted phenyl, wherein

R4 is hydrogen or 1-4C-alkyl,

R5 is hydrogen or 1-4C-alkyl,

R6 is hydrogen,

R7 is hydrogen,

### or a salt thereof

and its salts.

7. (Currently amended) A compound of the formula 1 as claimed in claim 1, characterized by the formula 1-a

- R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl or fluoro-1-4C-alkyl,
- is halogen, fluoro-1-4C-alkyl, 2-4C-alkenyl, 2-4C-alkynyl, carboxyl, cyano, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, amino-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, the radical Res-1-4C-alkyl or the radical -CO-NR31R32,

- Res is a imidazo, morpholino, aziridino, azetidino, pyrrolidino, pyrrolo, piperidino, piperazino or a with R30 substituted benzylamino radical and the radical Res is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical, where
  - R30 is 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen or hydroxy,
- R31 is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino, morpholino, aziridino or azetidino group,

- R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, halogen or fluoro-1-4C alkyl,
- R5 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, halogen or fluoro-1-4C alkyl,

with the proviso that, in the case when R4 is not ethyl and R5 is not methyl, R1 does not have the meaning hydrogen or 1-4C-alkyl when R2 has the meaning halogen or fluoro-1-4C-alkyl,

or a salt thereof

8. (Currently amended) A compound of the formula 1 as claimed in claim 1, characterized by the formula 1-a as claimed in claim 7,

where

R1 is 1-4C-alkyl,

R2 is carboxyl, 1-4C-alkoxycarbonyl or the radical -CO-NR31R32,

where

R31 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R32 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R4 is hydrogen or 1-4C-alkyl,

R5 is hydrogen or 1-4C-alkyl,

or a salt thereof

and its salts.

9. (Currently amended) A compound of the formula 1 as claimed in claim 1, characterized by the formula 1-b

in which

R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl or fluoro-1-4C-alkyl,

is halogen, fluoro-1-4C-alkyl, 2-4C-alkenyl, 2-4C-alkynyl, carboxyl, cyano, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl, amino-1-4C-alkyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, the radical Res-1-4C-alkyl or the radical -CO-NR31R32,

where

Res is a imidazo, morpholino, aziridino, azetidino, pyrrolidino, pyrrolo, piperidino, piperazino or a with R30 substituted benzylamino radical and the radical Res is bonded via its nitrogen atom or one of its nitrogen atoms to the 1-4C-alkyl radical, where

- R30 is 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen or hydroxy,
- R31 is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and
- R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino, morpholino, aziridino or azetidino group,

# or a salt thereof and its salts.

10. (Currently amended) A compound of the formula 1 as claimed in claim 1, characterized by the formula 1-b as claimed in claim 9,

where

R1 is 1-4C-alkyl,

R2 is carboxyl, 1-4C-alkoxycarbonyl or the radical -CO-NR31R32,

where

R31 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R32 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

## or a salt thereof

and its salts.

11. (Currently amended) A medicament pharmaceutical composition comprising a compound as claimed in claim 1 and/or a pharmacologically acceptable salt thereof, together with a pharmaceutically acceptable auxiliary and/or excipient customary pharmaceutical auxiliaries and/or excipients.

12. (Currently amended) The use of A method of treating a gastrointestinal disorder in a patient comprising administering to a patient in need thereof a compound as claimed in claim 1, or a and its pharmacologically acceptable salt thereof salts for the prevention and treatment of gastrointestinal disorders.